

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property
Organization
International Bureau



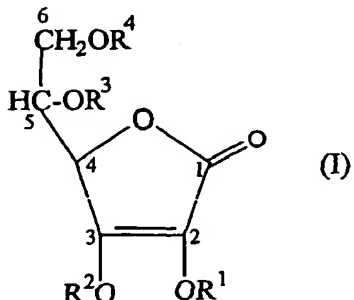
(43) International Publication Date
4 November 2004 (04.11.2004)

PCT

(10) International Publication Number
WO 2004/094369 A3

- (51) International Patent Classification⁷: **C07D 307/62**, A61K 7/42, 7/48, 31/34
- (21) International Application Number: PCT/IL2004/000343
- (22) International Filing Date: 21 April 2004 (21.04.2004)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data: 60/464,097 21 April 2003 (21.04.2003) US
- (71) Applicant (for all designated States except US): **TAGRA BIOTECHNOLOGIES LTD.** [IL/IL]; P.O.Box 8213, 42293 Netanya (IL).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): **KVITNITSKY**, Emma [IL/IL]; 25/15 Vradim Street, 11632 Kiryat Shmona (IL). **BELAKHOV**, Valery [IL/IL]; 5/2 Yaacov Chazan Street, 32881 Haifa (IL). **BABTSOV**, Vladimir [IL/IL]; 45/2 Menachem Begin Street, 11631 Kiryat Shmona (IL). **SHAPIRO**, Yury [IL/IL]; 19/8 Hanasi Street, 54021 Givat Shmuel (IL).
- (74) Agent: **BEN-AMI**, Paulina; Ben-Ami & Associates, P.O. BOX 94, 76100 Rehovot (IL).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).
- Published:
- with international search report
 - before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments
- (88) Date of publication of the international search report: 3 February 2005
- For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: STABILIZED DERIVATIVES OF ASCORBIC ACID



(57) Abstract: Ascorbic acid derivatives of the general formula I: wherein R¹ is a C₂-C₂₂ acyl group, an amino acid group, or a C₁-C₁₇ alkyl group; R² is ammonium or a metal cation; and each of R³ or R⁴, independently, is hydrogen, a C₂-C₂₂ acyl group, an amino acid residue, or a C₁-C₁₇ alkyl group, are more stable than ascorbic acid and can be used as a source of vitamin C in pharmaceutical, nutraceutical and cosmetic compositions.

WO 2004/094369 A3